=>
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L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 16:51:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1168 TO ITERATE

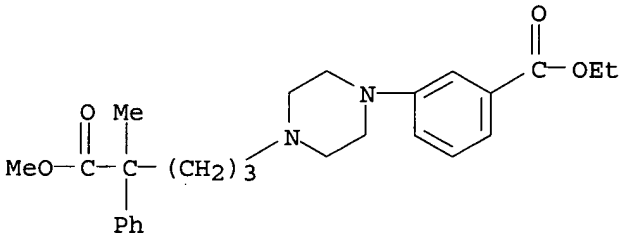
85.6% PROCESSED 1000 ITERATIONS 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 21310 TO 25410
PROJECTED ANSWERS: 4 TO 222

L2 4 SEA SSS SAM L1

=> d l2 1-4

L2 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 327030-29-5 REGISTRY
CN 1-Piperazinepentanoic acid, 4-[3-(ethoxycarbonyl)phenyl]-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H34 N2 O4
SR CA
LC STN Files: CA, CAPLUS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 327030-21-7 REGISTRY
CN 1-Piperazinepentanoic acid, 4-(4-methoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
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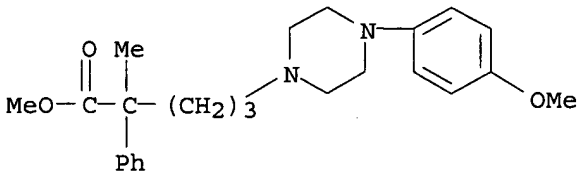
SR CA
LC STN Files: CA, CAPLUS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 327030-13-7 REGISTRY
CN 1-Piperazinepentanoic acid, 4-(4-bromophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
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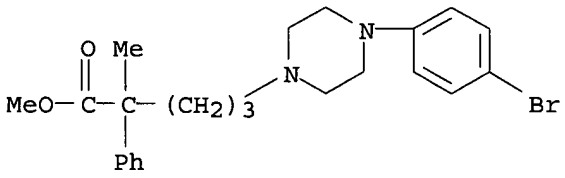
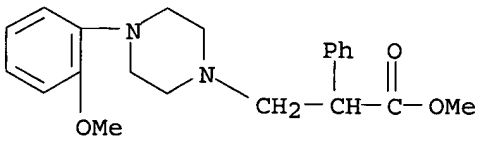
SR CA
LC STN Files: CA, CAPLUS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 132708-57-7 REGISTRY
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H26 N2 O3
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l1 sss full
FULL SEARCH INITIATED 16:58:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 23296 TO ITERATE

100.0% PROCESSED 23296 ITERATIONS 62 ANSWERS
SEARCH TIME: 00.00.01

L3 62 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 159.27 | 159.48 |

FILE 'CAPLUS' ENTERED AT 16:58:17 ON 29 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 29 Sep 2003 VOL 139 ISS 14
FILE LAST UPDATED: 28 Sep 2003 (20030928/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4           21 L3

=> d l4 1-21 bib abs hitstr
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L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:185098 CAPLUS
DN 136:247608
TI Preparation of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcarboxamides as lipid lowering agents
IN Meerpoel, Lieven; Roevens, Peter Walter Maria; Backx, Leo Jacobus Jozef; Van der Veken, Louis Jozef Elisabeth; Viellevoye, Marcel
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002020501 | A2 | 20020314 | WO 2001-EP9926 | 20010827 |
| | WO 2002020501 | A3 | 20020627 | | |
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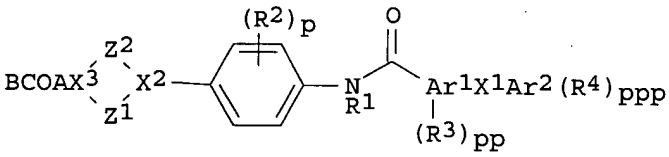
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2002010468 | A5 | 20020322 | AU 2002-10468 | 20010827 |
| | EP 1317431 | A2 | 20030611 | EP 2001-978313 | 20010827 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001014045 | A | 20030701 | BR 2001-14045 | 20010827 |
| | NO 2003001001 | A | 20030304 | NO 2003-1001 | 20030304 |
| | HR 2003000156 | A1 | 20030430 | HR 2003-156 | 20030304 |
| PRAI | EP 2000-203067 | A | 20000904 | | |
| | WO 2001-EP9926 | W | 20010827 | | |

OS MARPAT 136:247608
GI



I

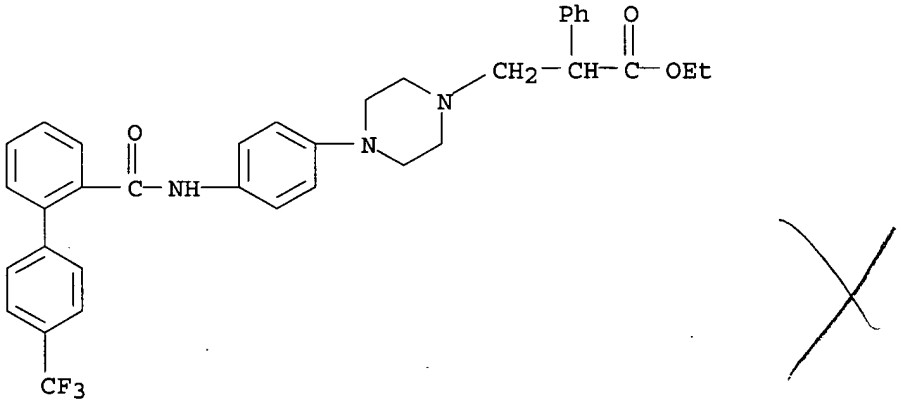
AB Title compds. [I; Z1 = (CH2)n, CH2CH2O; n = 1-3; Z2 = (CH2)m; m = 1, 2; X1 = O, CH2, CO, NH, CH2O, CH2S, bond; X2, X3 = CH, N, C; R1 = H, alkyl; Ar1, Ar2 = (substituted) Ph, naphthalenyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, triazolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, pyrrolyl, furyl, thienyl; R2, R3 = alkyl, alkoxy, halo, CF3; R4 = alkyl, alkoxy, halo, OH, SH, cyano, NO2, alkylthio, polyhaloalkyl, amino, alkylamino, dialkylamino; p, pp = 0-2; ppp = 0-3; X1, R4 taken together with Ar1 and Ar2 to which they are attached = fluoren-1-yl, fluoren-4-yl; A = alkanediyl substituted with 1-2 aryl, heteroaryl, cycloalkyl; when X3 = CH, A may also = N substituted with H, alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl; B = H,

alkyl, aralkyl, heteroarylalkyl, (substituted) aryl, heteroaryl, etc.], and N-oxides thereof, were prepd. Thus, 4'-trifluoromethylbiphenyl-2-carboxylic acid was stirred 2 h with (COCl)2 in CH2Cl2 contg. DMF; the resulting mixt. was added to a mixt. prepd. from 4-(4-aminophenyl)-.alpha.-Ph-N-(2,2,2-trifluoroethyl)-1-piperazineacetamide (prepn. given) and Et3N in CH2Cl2 under ice/salt cooling followed by stirring and reflux for 2 days to give N-[4-[4-[2-oxo-1-phenyl-2-[(2,2,2-trifluoroethyl)amino]ethyl]-1-piperazinyl]phenyl]-4'-(trifluoromethyl)[1,1'-biphenyl]-2-carboxamide. The latter inhibited microsomal triglyceride transfer protein (MTP) activity with pIC50 = 7.864.

IT **403987-37-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcarboxamides as lipid lowering agents)

RN 403987-37-1 CAPLUS

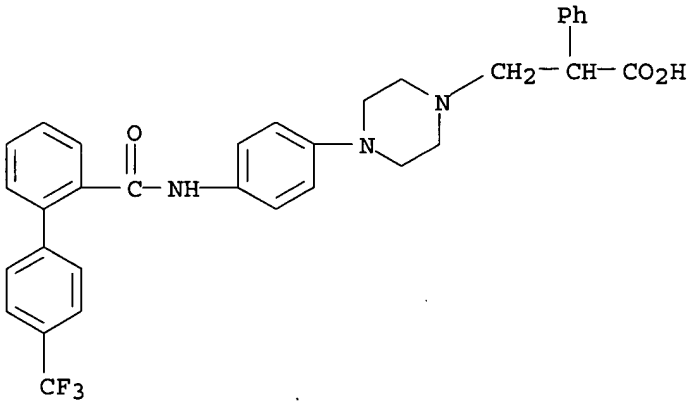
CN 1-Piperazinepropanoic acid, .alpha.-phenyl-4-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT **403987-75-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcarboxamides as lipid lowering agents)

RN 403987-75-7 CAPLUS

CN 1-Piperazinepropanoic acid, .alpha.-phenyl-4-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)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N
N
O
C—NH
CF3

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:136796 CAPLUS
DN 134:193445
TI Preparation of arylpiperazinylpentanoates and -hexanoates as microsomal triglyceride transfer protein inhibitors.
IN Lehmann-Lintz, Thorsten; Heckel, Armin; Thomas, Leo; Mark, Michael
PA Boehringer Ingelheim Pharma KG, Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German

APPS PCT

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 19939745 | A1 | 20010222 | DE 1999-19939745 | 19990821 |
| | WO 2001014355 | A1 | 20010301 | WO 2000-EP7976 | 20000816 |
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| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1210340 | A1 | 20020605 | EP 2000-962322 | 20000816 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | JP 2003507463 | T2 | 20030225 | JP 2001-518443 | 20000816 |
| PRAI | DE 1999-19939516 | A | 19990820 | | |
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| | WO 2000-EP7976 | W | 20000816 | | |

OS MARPAT 134:193445
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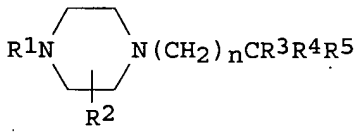


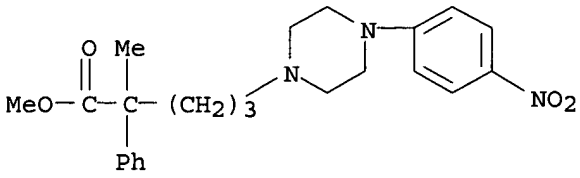
I

AB Title compds. [I; R1 = (substituted) Ph; R2 = H, alkyl; R3 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, Ph, naphthyl, heteroaryl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5 = CO2H, (substituted) alkoxycarbonyl, cycloalkoxycarbonyl, etc.; n = 3-5], were prepd. as MTP inhibitors for redn. of plasma concn. of atherogenic lipoproteins (no data). Thus, 1-(4-nitrophenyl)piperazine, Me 5-bromo-2-methyl-2-phenylpentanoate, H2O and K2CO3 in MeCN were stirred for 6 h at 60.degree. to give Me 2-methyl-2-phenyl-5-[4-(4-nitrophenyl)piperazin-1-yl]pentanoate, which was hydrogenated over Pd/C in EtOAc/MeOH to give 91.7% Me 2-methyl-2-phenyl-5-[4-(4-aminophenyl)piperazin-1-yl]pentanoate.

IT **327030-25-1P 327030-26-2P 327030-33-1P 327030-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of arylpiperazinylpentanoates and -hexanoates as microsomal triglyceride transfer protein inhibitors)

RN 327030-25-1 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-(4-nitrophenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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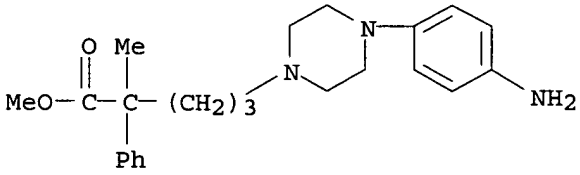

RN 327030-33-1 CAPLUS
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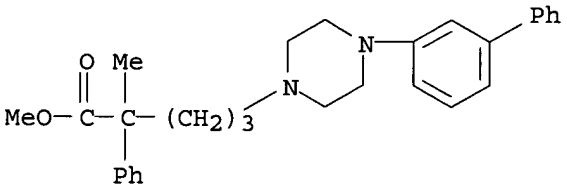

RN 327030-35-3 CAPLUS
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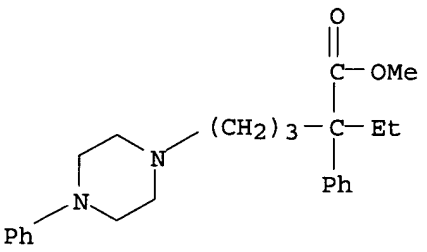

IT **327030-05-7P 327030-08-0P 327030-09-1P**
**327030-10-4P 327030-11-5P 327030-12-6P**
**327030-13-7P 327030-14-8P 327030-15-9P**
**327030-16-0P 327030-17-1P 327030-18-2P**
**327030-19-3P 327030-20-6P 327030-21-7P**
**327030-22-8P 327030-23-9P 327030-24-0P**
**327030-27-3P 327030-28-4P 327030-29-5P**
**327030-30-8P 327030-31-9P 327030-36-4P**
**327030-37-5P 327030-38-6P 327030-42-2P**
**327030-43-3P 327030-46-6P 327030-47-7P**
**327030-48-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpiperazinylpentanoates and -hexanoates as microsomal triglyceride transfer protein inhibitors)

RN 327030-05-7 CAPLUS
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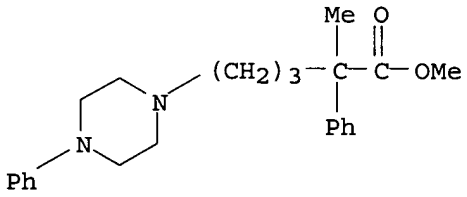

RN 327030-08-0 CAPLUS
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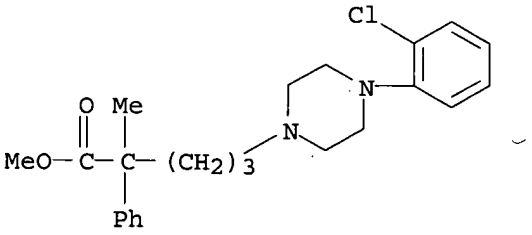

RN 327030-09-1 CAPLUS
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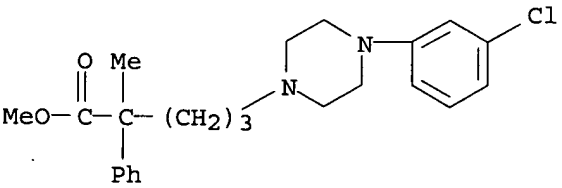

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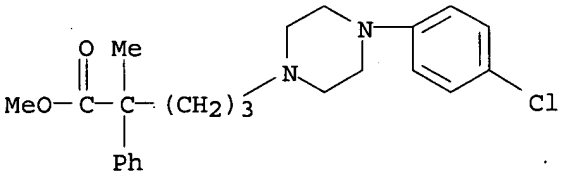

RN 327030-11-5 CAPLUS
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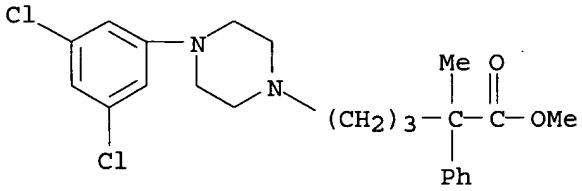

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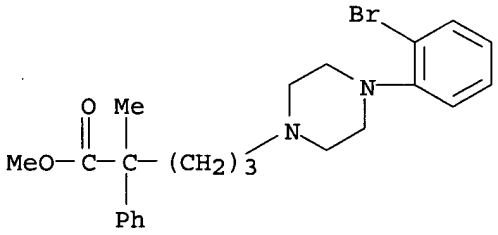
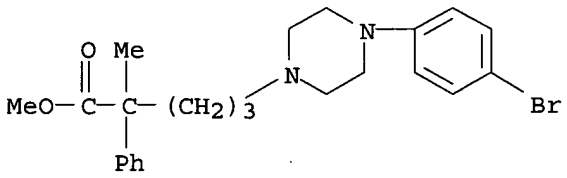


RN 327030-13-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-bromophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-14-8 CAPLUS
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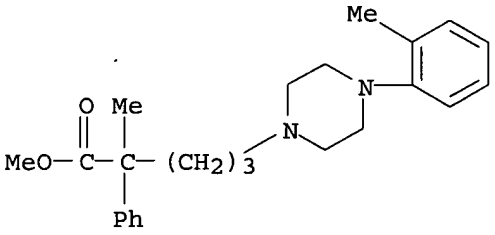
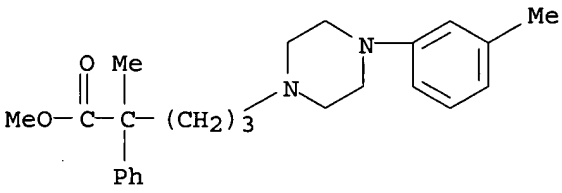


RN 327030-15-9 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-(3-methylphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-16-0 CAPLUS
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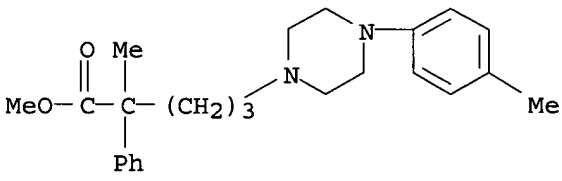
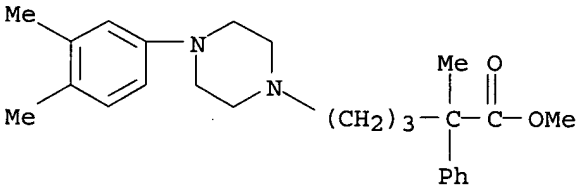
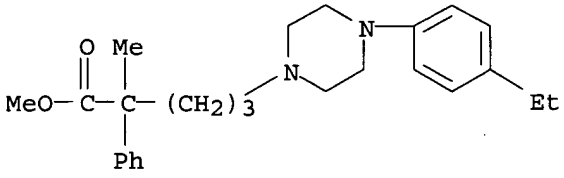


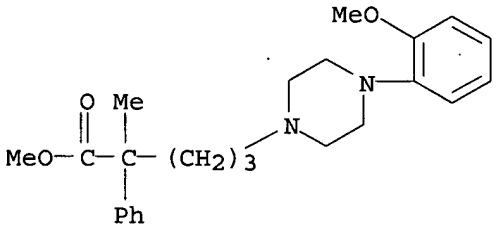
RN 327030-17-1 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3,4-dimethylphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-18-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-ethylphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-19-3 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-methoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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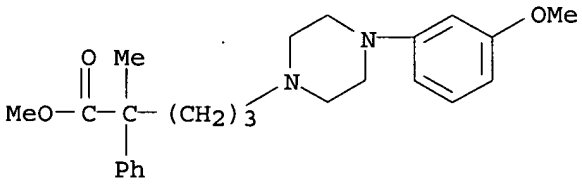
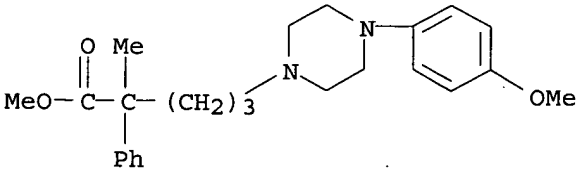


RN 327030-21-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-methoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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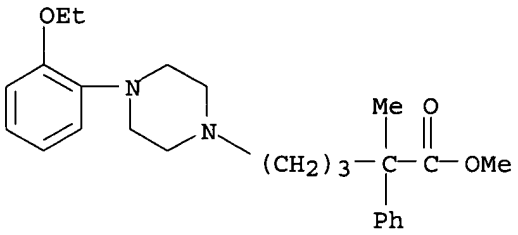
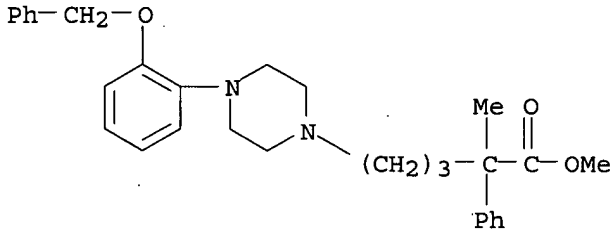
CN 1-Piperazinepentanoic acid, 4-(2-ethoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-23-9 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-.alpha.-phenyl-4-[2-(phenylmethoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



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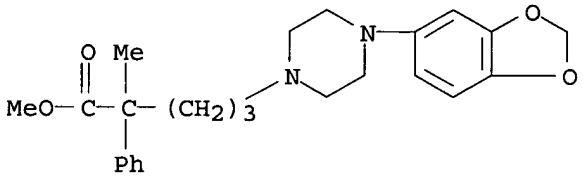
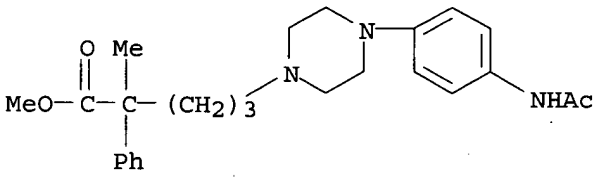
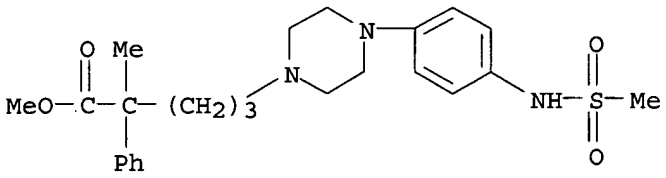
CN 1-Piperazinepentanoic acid, 4-(1,3-benzodioxol-5-yl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-27-3 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(acetylamino)phenyl]-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-28-4 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-[4-[(methylsulfonyl)amino]phenyl]-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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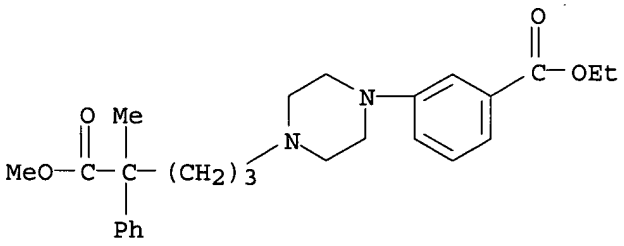

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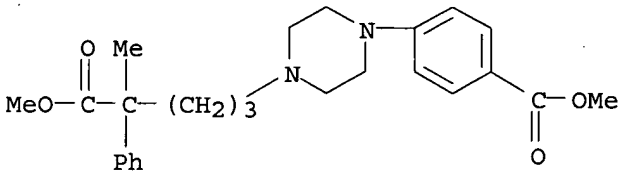
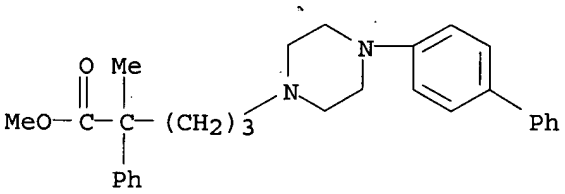
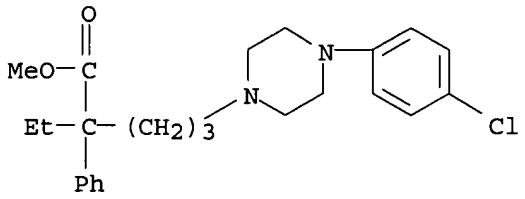


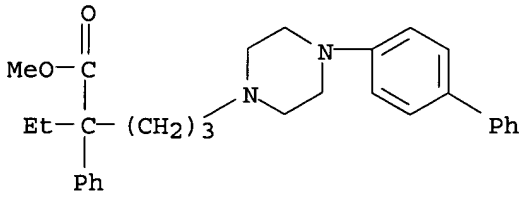
RN 327030-31-9 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



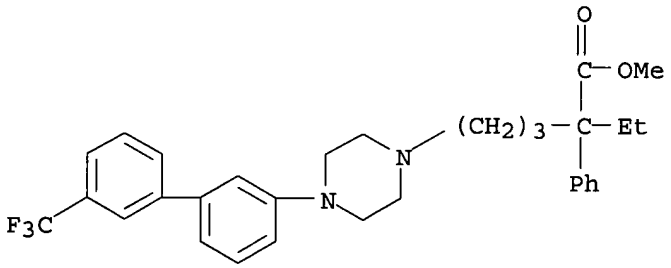
RN 327030-36-4 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-chlorophenyl)-.alpha.-ethyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-37-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-.alpha.-ethyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-38-6 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-ethyl-.alpha.-phenyl-4-[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-42-2 CAPLUS
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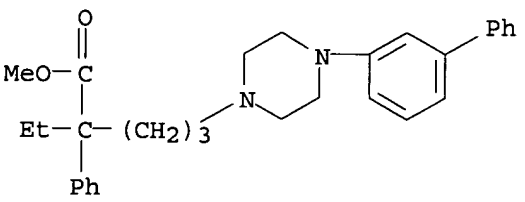
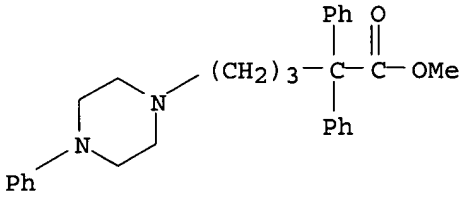


RN 327030-43-3 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.,.alpha.,4-triphenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-46-6 CAPLUS
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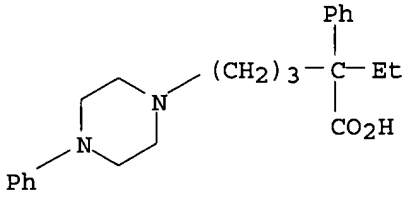

RN 327030-47-7 CAPLUS
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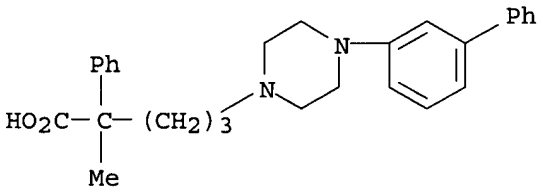
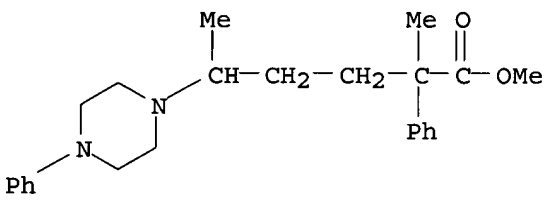


● 2 HCl

RN 327030-48-8 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.,.delta.-dimethyl-.alpha.,4-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:136770 CAPLUS
DN 134:193434
TI Preparation of arylpiperazinylpentanecarboxylates and -hexanecarboxylates as inhibitors of microsomal triglyceride transfer protein.
IN Lehmann-Lintz, Thorsten; Heckel, Armin; Thomas, Leo; Mark, Michael
PA Boehringer Ingelheim Pharma KG, Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German

SAME AS ANS 2. ALSO PCT

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 19939516 | A1 | 20010222 | DE 1999-19939516 | 19990820 |
| | WO 2001014355 | A1 | 20010301 | WO 2000-EP7976 | 20000816 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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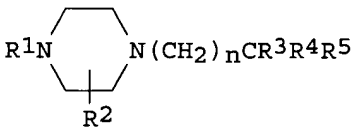
| | EP 1210340 | A1 | 20020605 | EP 2000-962322 | 20000816 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | JP 2003507463 | T2 | 20030225 | JP 2001-518443 | 20000816 |
| PRAI | DE 1999-19939516 | A | 19990820 | | |
| | DE 1999-19939745 | A | 19990821 | | |
| | WO 2000-EP7976 | W | 20000816 | | |

OS MARPAT 134:193434
GI



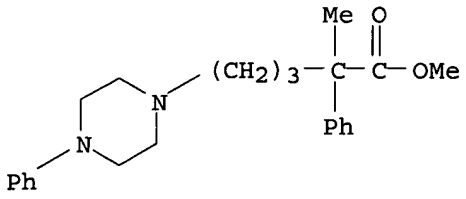
I

AB Title compds. [I; n = 3, 4, 5; R1 = (substituted) Ph; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, (substituted) Ph, naphthyl, heteroaryl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5 = CO2H, (substituted) alkoxycarbonyl, cycloalkoxycarbonyl], were prepd. to reduce plasma levels of arterogenic lipoproteins (no data). Thus, 1-phenylpiperazine, Me 5-bromo-2-methyl-2-phenylpentanoate (prepn. given), and Et3N were stirred 42 h in MeOH to give 29.2% Me 2-methyl-2-phenyl-5-(4-phenylpiperazin-1-yl)pentanoate.

IT **327030-05-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpiperazinylpentanecarboxylates and -hexanecarboxylates as inhibitors of microsomal triglyceride transfer protein)

RN 327030-05-7 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-.alpha.,4-diphenyl-, methyl

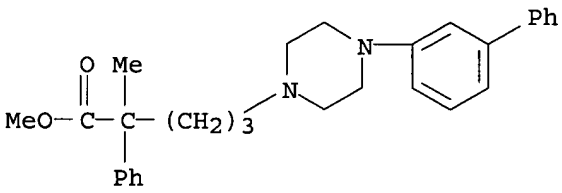
ester (9CI) (CA INDEX NAME)



IT **327030-33-1P 327030-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of arylpiperazinylpentanecarboxylates as inhibitors of microsomal triglyceride transfer protein)

RN 327030-33-1 CAPLUS

CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-35-3 CAPLUS

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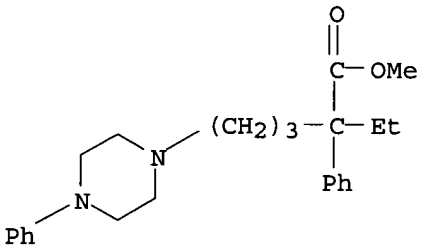
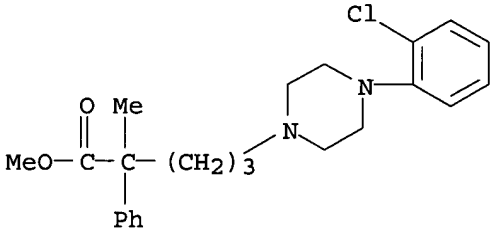


IT **327030-08-0P 327030-09-1P 327030-10-4P**
**327030-11-5P 327030-12-6P 327030-13-7P**
**327030-14-8P 327030-15-9P 327030-16-0P**
**327030-17-1P 327030-18-2P 327030-19-3P**
**327030-20-6P 327030-21-7P 327030-22-8P**
**327030-23-9P 327030-24-0P 327030-25-1P**
**327030-26-2P 327030-27-3P 327030-28-4P**
**327030-29-5P 327030-30-8P 327030-31-9P**
**327030-36-4P 327030-37-5P 327030-38-6P**
**327030-42-2P 327030-43-3P 327030-46-6P**
**327030-47-7P 327030-48-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpiperazinylpentanecarboxylates as inhibitors of microsomal triglyceride transfer protein)

RN 327030-08-0 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-chlorophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-09-1 CAPLUS
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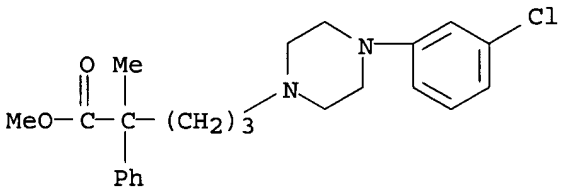
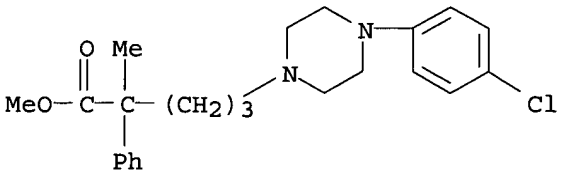


RN 327030-10-4 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-chlorophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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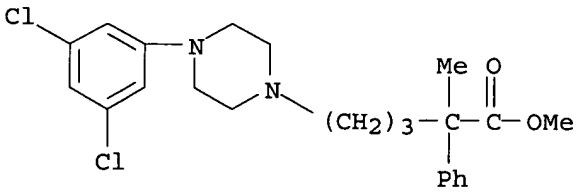
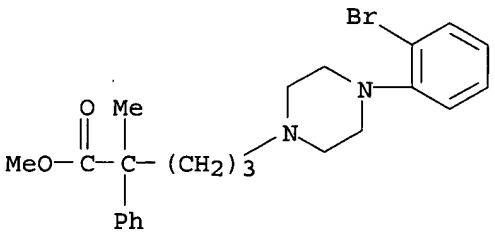
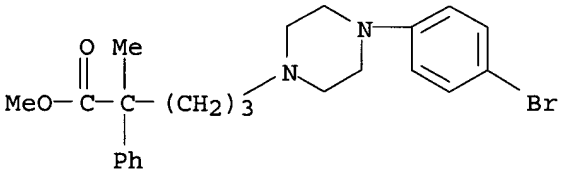


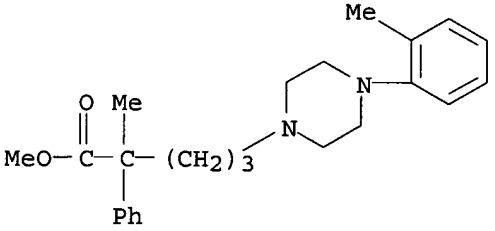
RN 327030-12-6 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-bromophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-13-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-bromophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-14-8 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-(2-methylphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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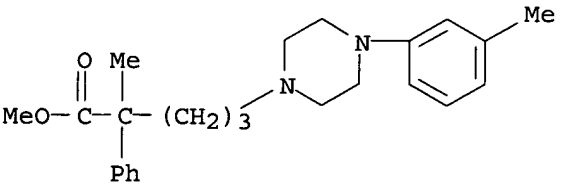

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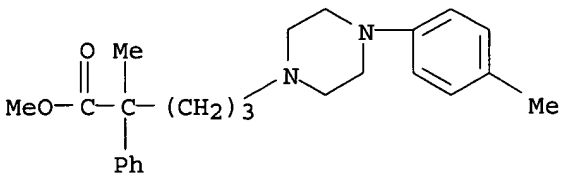
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-(4-methylphenyl)-.alpha.-

phenyl-, methyl ester (9CI) (CA INDEX NAME)



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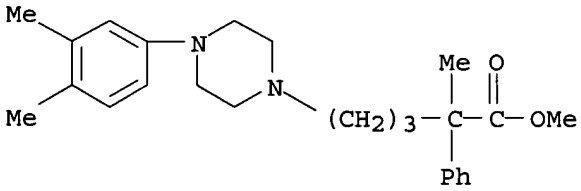
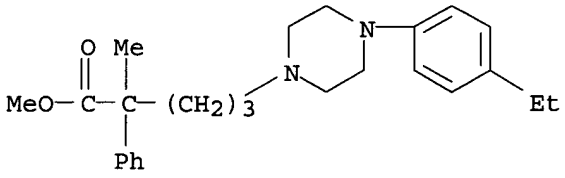
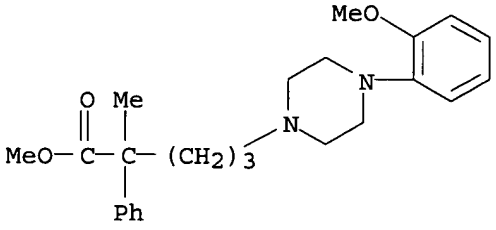


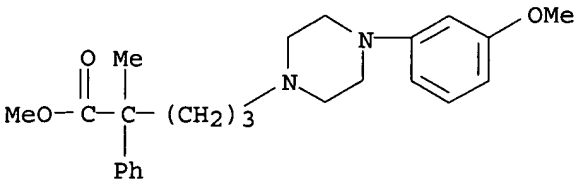
RN 327030-18-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-ethylphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



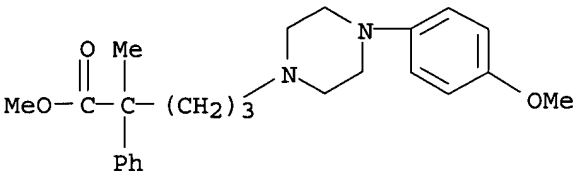
RN 327030-19-3 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-methoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



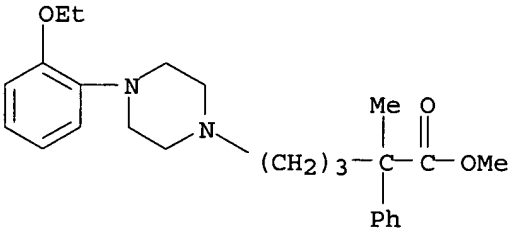
RN 327030-20-6 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3-methoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



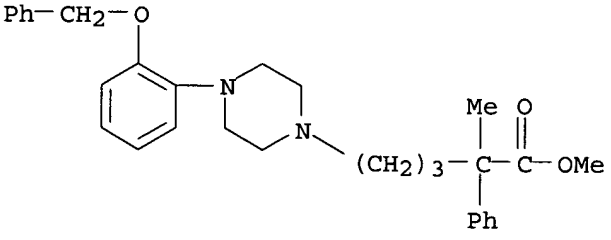
RN 327030-21-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-methoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



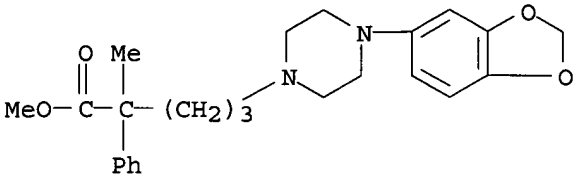
RN 327030-22-8 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-ethoxyphenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



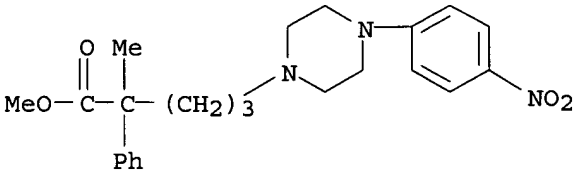
RN 327030-23-9 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-.alpha.-phenyl-4-[2-(phenylmethoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



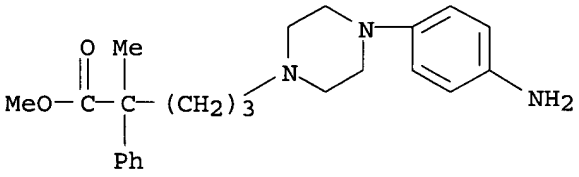
RN 327030-24-0 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(1,3-benzodioxol-5-yl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



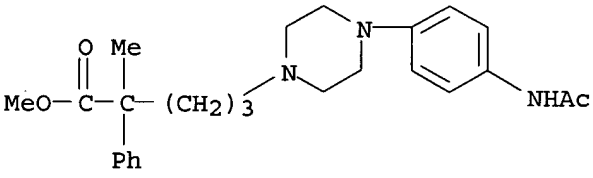
RN 327030-25-1 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-(4-nitrophenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



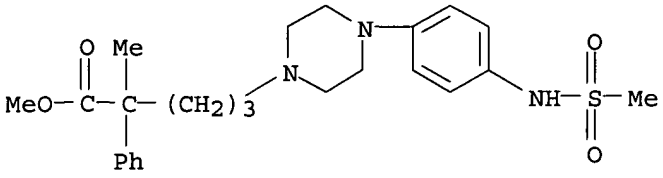
RN 327030-26-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-aminophenyl)-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



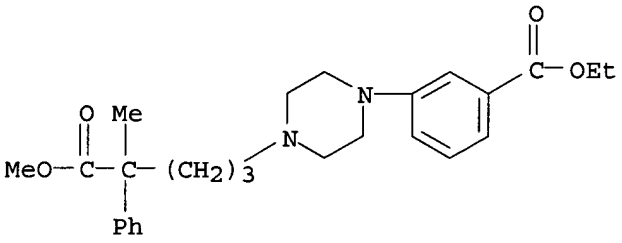
RN 327030-27-3 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(acetylamino)phenyl]-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



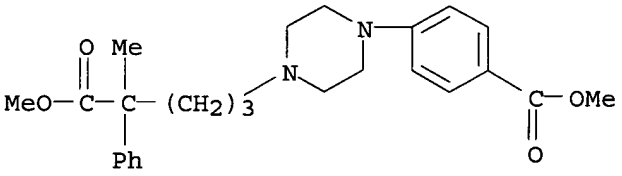
RN 327030-28-4 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-methyl-4-[4-[(methylsulfonyl)amino]phenyl]-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



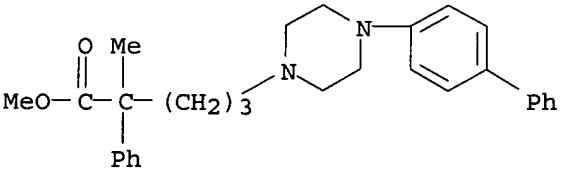
RN 327030-29-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[3-(ethoxycarbonyl)phenyl]-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



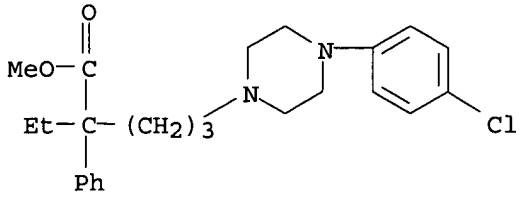
RN 327030-30-8 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(methoxycarbonyl)phenyl]-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



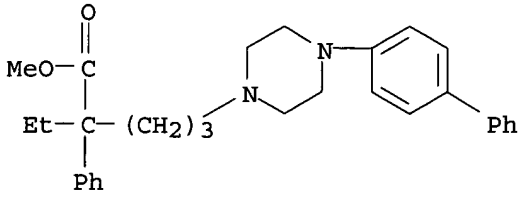
RN 327030-31-9 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-.alpha.-methyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



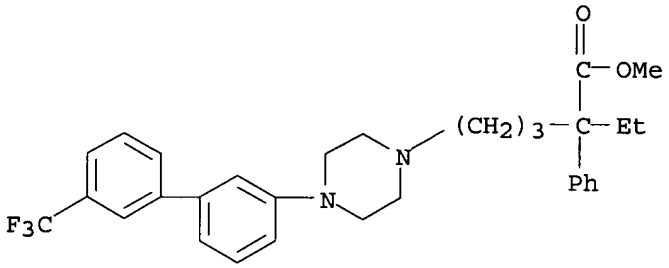
RN 327030-36-4 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-chlorophenyl)-.alpha.-ethyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



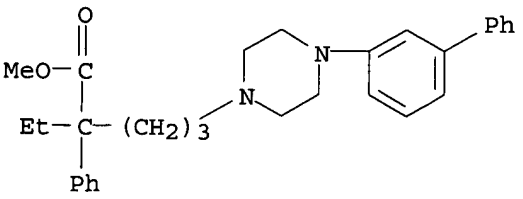
RN 327030-37-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-.alpha.-ethyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



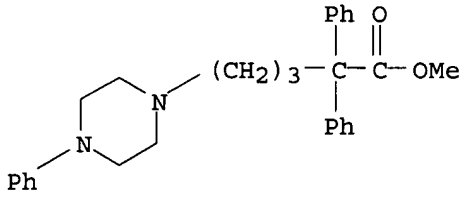
RN 327030-38-6 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-ethyl-.alpha.-phenyl-4-[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



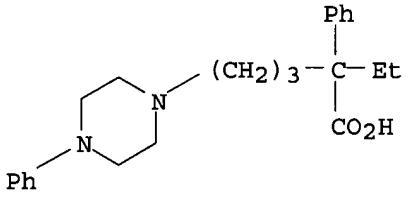
RN 327030-42-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-.alpha.-ethyl-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



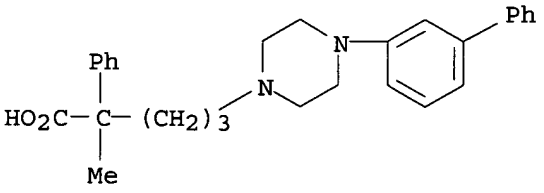
RN 327030-43-3 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.,.alpha.,4-triphenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-46-6 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-ethyl-.alpha.,4-diphenyl- (9CI) (CA INDEX NAME)

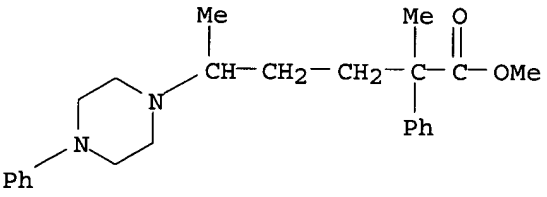


RN 327030-47-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-.alpha.-methyl-.alpha.-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



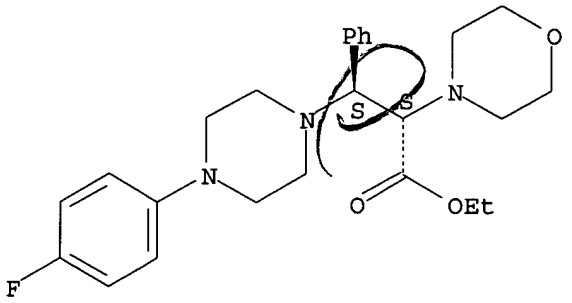
●2 HCl

RN 327030-48-8 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.,.delta.-dimethyl-.alpha.,4-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:758683 CAPLUS
DN 134:71128
TI Applications of Aziridinium Ions. Selective Syntheses of .alpha.,.beta.-Diamino Esters, .alpha.-Sulfanyl-.beta.-amino Esters, .beta.-Lactams, and 1,5-Benzodiazepin-2-one
AU Chuang, Tsung-Hsun; Sharpless, K. Barry
CS Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SO Organic Letters (2000), 2(23), 3555-3557
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:71128
AB A variety of nucleophiles, including amines, thiolates, and alkoxides, were employed to open aziridinium ions. The latter are opened stereospecifically and regioselectively at the C-3 position by a wide range of amines, and thiolate nucleophiles attack predominately at the C-2 position. Poor regioselectivities (ca. 1:1) were obsd. using nucleophiles derived from phenols, carboxylic acids, and imides. Base-mediated ring closure of the aziridinium opening products, from primary amines, gave .beta.-lactams and a 1,5-benzodiazepin-2-one in high yields.
IT **314277-96-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(ring cleavage of aziridinium ions via reactions with amines, thiolates, and alkoxides)
RN 314277-96-8 CAPLUS
CN 4-Morpholineacetic acid, .alpha.-[(R)-[4-(4-fluorophenyl)-1-piperazinyl]phenylmethyl]-, ethyl ester, (.alpha.R)-rel- (9CI) (CA INDEX NAME)

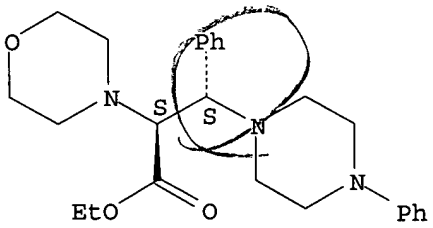
Relative stereochemistry.




RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:634691 CAPLUS
DN 132:22730
TI Applications of Aziridinium Ions. Selective Syntheses of .beta.-Aryl-.alpha.,.beta.-diamino Esters
AU Chuang, Tsung-Hsun; Sharpless, K. Barry
CS Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SO Organic Letters (1999), 1(9), 1435-1437
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 132:22730
AB .alpha.,.beta.-Diamino esters are readily prepd. through stereospecific and regioselective opening of an aziridinium ion intermediate with a variety of amines. The aziridinium ion is generated from the epoxide in two steps.
IT **251967-14-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of .beta.-aryl-.alpha.,.beta.-diamino esters through stereospecific and regioselective opening of an aziridinium ion intermediate)
RN 251967-14-3 CAPLUS
CN 4-Morpholineacetic acid, .alpha.-[(R)-phenyl(4-phenyl-1-piperazinyl)methyl]-, ethyl ester, (.alpha.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

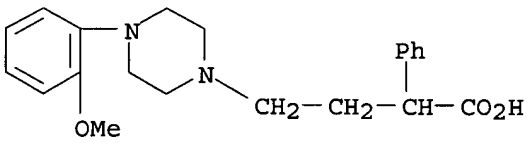



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:128095 CAPLUS
DN 126:166501
TI N-Heterocycloalkyl carboxamides as serotonergic agents
IN Baudy, Reinhardt B.; Berta, Scott C.
PA American Home Products Corporation, USA
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5602128 | A | 19970211 | US 1994-348651 | 19941202 |

PRAI US 1994-348651 19941202
OS MARPAT 126:166501
AB 4-[4-(2-Methoxyphenyl)piperazin-1-yl]-N-[(thio)morpholinyl]-2-phenylbutyramides and a pharmaceutically acceptable salt thereof, are useful as anxiolytic/antidepressant agents. Coupling of 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid with 4-(2-aminoethyl)morpholine in presence of triethylamine and N,N-bis(2-oxo-3-oxazolidinyl)phosphoramidic chloride gave 4-[4-(2-methoxyphenyl)piperazin-1-yl]-N-(2-morpholin-4-ylethyl)-2-phenylbutyramide (I). I displayed potent affinity for the serotonin 5-HT1A receptor.
IT **156818-13-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(4-[4-(2-methoxyphenyl)piperazin-1-yl]-N-[(thio)morpholinyl]-2-phenylbutyramides as serotonergic agents)
RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)

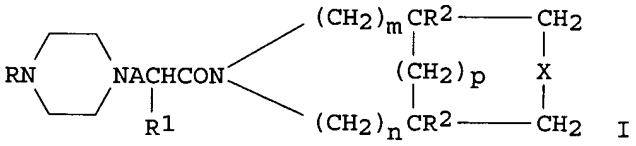



homolog.

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:446471 CAPLUS
DN 125:114692
TI Preparation of piperazine-containing bicyclic carboxamides as 5-HT1a receptor antagonists
IN Cliffe, Ian Anthony; Mansell, Howard Langham; Ward, Terence James; Nelson, James Albert; Shah, Uresh Shantilal; Kanzelberger, Mira Ana
PA Wyeth, John, and Brother Ltd., UK; American Home Products Corporation
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9609302 | A1 | 19960328 | WO 1995-GB2001 | 19950823 |
| | W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, UG, UZ, VN | | | | |
| | RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | US 5610154 | A | 19970311 | US 1995-448962 | 19950524 |
| | CA 2200443 | AA | 19960328 | CA 1995-2200443 | 19950823 |
| | AU 9533501 | A1 | 19960409 | AU 1995-33501 | 19950823 |
| | AU 692917 | B2 | 19980618 | | |
| | EP 782574 | A1 | 19970709 | EP 1995-929941 | 19950823 |
| | EP 782574 | B1 | 20020327 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | CN 1158615 | A | 19970903 | CN 1995-195207 | 19950823 |
| | CN 1043764 | B | 19990623 | | |
| | BR 9508979 | A | 19971028 | BR 1995-8979 | 19950823 |
| | JP 10505853 | T2 | 19980609 | JP 1995-510658 | 19950823 |
| | HU 77940 | A2 | 19981228 | HU 1998-408 | 19950823 |
| | AT 215083 | E | 20020415 | AT 1995-929941 | 19950823 |
| | ES 2170802 | T3 | 20020816 | ES 1995-929941 | 19950823 |
| | IL 115085 | A1 | 19990620 | IL 1995-115085 | 19950828 |
| | ZA 9507449 | A | 19970305 | ZA 1995-7449 | 19950905 |
| | TW 424092 | B | 20010301 | TW 1995-84109809 | 19950919 |
| | FI 9701177 | A | 19970520 | FI 1997-1177 | 19970320 |
| PRAI | GB 1994-19024 | A | 19940921 | | |
| | WO 1995-GB2001 | W | 19950823 | | |

OS MARPAT 125:114692
GI




I

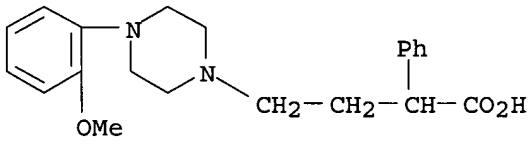
AB The title compds. [I; A = (un)substituted C1-2 alkylene; R = mono or bicyclic aryl or heteroaryl; R1 = aryl, arylalkyl; X = CR2:CR2, (CR2)q; R2 = H, lower alkyl; m, n = 0-2; p, q = 0-3], which are 5-HT1a receptor antagonists, useful as anxiolytics (no data), are prepd. Thus,

4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid was condensed with desmethyltropane and the resultant free base salified with aq. HCl, producing 1-(8-azabicyclo[3.2.1]oct-8-yl)-4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutan-1-one hydrochloride hemihydrate, m.p. 225-228.degree. (decompn.), which demonstrated a IC50 of 3.3 nM in a rat hippocampal membrane homogenate-derived 5-HT1a receptor-binding assay.

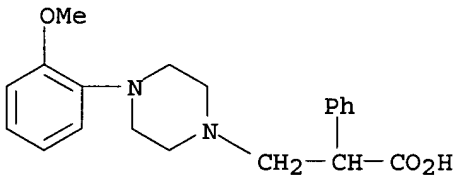
IT **156818-13-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperazine-contg. bicyclic carboxamides as 5-HT1a receptor antagonists)

RN 156818-13-2 CAPLUS

CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)

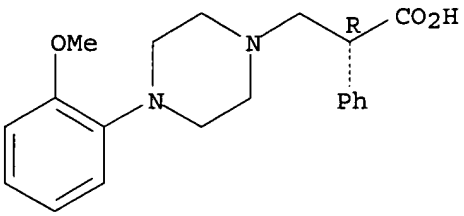


L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:123207 CAPLUS
DN 124:249645
TI Structure-activity relationship studies of CNS agents. Part 24. New analogs of N-tert.-butyl-3-[4-(2-methoxyphenyl)-1-piperazinyl]-2-phenylpropanamide
AU Boksa, J.; Klodzinska, Aleksandra; Charakchieva-Minol, Sijka; Chojnacka-Wojcik, Ewa; Mokrosz, J. L.
CS Inst. Pharmacology, Polish Acad. Sci., Krakow, Pol.
SO Pharmazie (1996), 51(2), 72-6
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag
DT Journal
LA English
AB A series of new N-substituted derivs. of 3-[4-(2-methoxyphenyl)-1-piperazinyl]-2-phenylpropanamide were synthesized and their 5-HT1A, 5-HT2A, and .alpha.1 receptor affinities were detd. All the compds. were highly potent 5-HT1A ligands with a moderate or low 5-HT2A and .alpha.1 affinity. The 5-HT2A affinity of these compds. depended on the vol. of amide substituents. None of the investigated racemic mixts. antagonized the 8-OH-DPAT-induced lower lip retraction in rats.
IT **129394-10-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and structure-5-HT receptor agonist activity relations of arylpiperazine derivs.)
RN 129394-10-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)




IT **175274-25-6P 175274-26-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-5-HT receptor agonist activity relations of arylpiperazines)
RN 175274-25-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

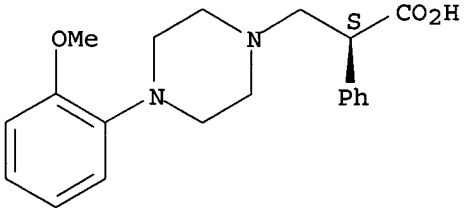
Absolute stereochemistry.




●2 HCl

RN 175274-26-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

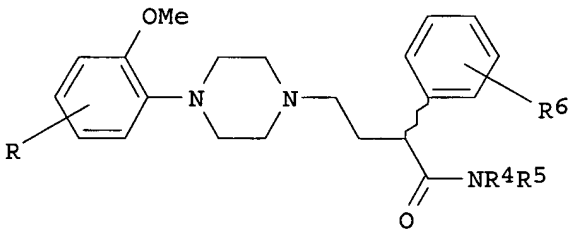



●2 HCl

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:947094 CAPLUS
DN 124:146200
TI 4-[4-(2-Methoxyphenyl)piperazin-1-yl]-2-phenyl-N-alkynylbutyramides as serotonergic agents
IN Baudy, Reinhardt B.; Berta, Scott C.
PA American Home Products Corp., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 5451584 | A | 19950919 | US 1994-337810 | 19941110 |
| PRAI US 1994-337810 | | 19941110 | | |

OS MARPAT 124:146200
GI

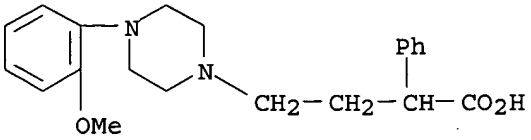



I

AB Carboxamides I where: R and R6 are members independently selected from the group consisting of H, CN, OR2, NO2, NR2R3, NR2COR3, NR2COOR3, COR2, COOR2, CONR2R3, SR2, SOR2, SO2R2, SO2NR2R3, alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms, alkynyl of 2 to 6 carbon atoms, perhaloalkyl of 1 to 6 carbon atoms, and a halogen; in which R2 and R3 are alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms, alkynyl of 2 to 6 carbon atoms, Ph, or benzyl; R4 is a member selected from the group consisting of H, alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms and alkynyl of 2 to 6 carbon atoms; R5 is alkynyl of 2 to 8 carbon atoms or 1-alkynylcycloalkyl in which the alkynyl group has 2 to 6 carbon atoms and the cycloalkyl group has 3 to 10 carbon atoms; or a pharmaceutically acceptable salt thereof, are useful anxiolytic/antidepressant agents. Thus, e.g., coupling of 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid with propargylamine in presence of triethylamine and N,N-bis(2-oxo-3-oxazolidinyl)phosphoramidic chloride, followed by treatment with ethanolic HCl afforded 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenyl-N-prop-2-ynylbutyramide dihydrochloride (I.2HCl; R = R6 = H, NR4R5 = propargylamino) which displayed high affinity for the serotonin 5-HT1A receptor subtype, with IC50 = 44.9 nM.

IT **156818-13-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenyl-N-alkynylbutyramides as serotonergic agents useful as anxiolytics/antidepressants)

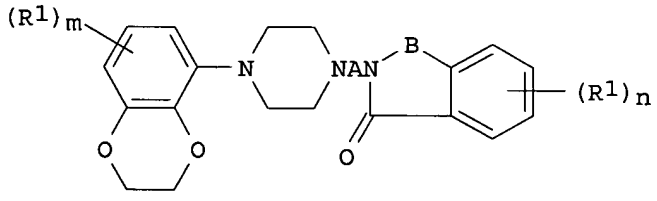
RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)


N
N
Ph
CH2—CH2—CH—CO2H
OMe

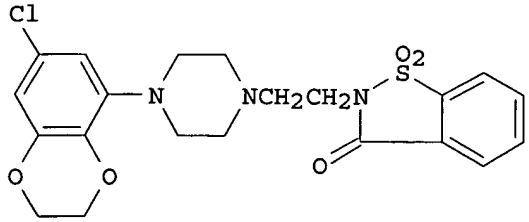
L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:422806 CAPLUS
DN 122:187611
TI Preparation of 2,3-dihydro-1,4-benzodioxin-5-yl-piperazine derivatives having 5-HT1a-antagonistic activity.
IN Hartog, Jan; Van Steen, B. J.; Mos, Johannes; Schipper, Jacques
PA Duphar International Research B.V., Neth.
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 633260 | A1 | 19950111 | EP 1994-201900 | 19940701 |
| | EP 633260 | B1 | 20011107 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | CA 2127084 | AA | 19950106 | CA 1994-2127084 | 19940629 |
| | FI 9403149 | A | 19950106 | FI 1994-3149 | 19940630 |
| | NO 9402471 | A | 19950106 | NO 1994-2471 | 19940630 |
| | JP 07215972 | A2 | 19950815 | JP 1994-170370 | 19940630 |
| | US 5462942 | A | 19951031 | US 1994-269086 | 19940630 |
| | HU 75155 | A2 | 19970428 | HU 1994-1965 | 19940630 |
| | HU 218215 | B | 20000628 | | |
| | CZ 286503 | B6 | 20000412 | CZ 1994-1597 | 19940630 |
| | SK 281681 | B6 | 20010611 | SK 1994-788 | 19940630 |
| | ZA 9404787 | A | 19950220 | ZA 1994-4787 | 19940701 |
| | CN 1106813 | A | 19950816 | CN 1994-115999 | 19940701 |
| | CN 1044244 | B | 19990721 | | |
| | AT 208385 | E | 20011115 | AT 1994-201900 | 19940701 |
| | ES 2167346 | T3 | 20020516 | ES 1994-201900 | 19940701 |
| | AU 9466139 | A1 | 19950112 | AU 1994-66139 | 19940704 |
| | AU 680900 | B2 | 19970814 | | |
| | RU 2118322 | C1 | 19980827 | RU 1994-23250 | 19940704 |
| | IL 110209 | A1 | 20000229 | IL 1994-110209 | 19940704 |
| PRAI | EP 1993-201950 | A | 19930705 | | |

OS CASREACT 122:187611; MARPAT 122:187611
GI




I



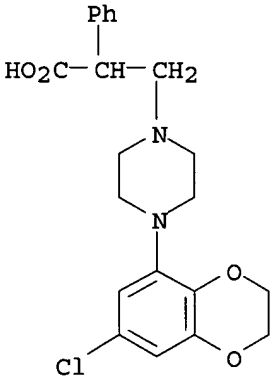

II

AB Title compds. (I; R1 = halo, lower alkyl, alkoxy, OH, CF3, cyano; m = 1,2; n = 0,1; A = C2-6 alkylene which may be substituted with .gtoreq.1 lower alkyl groups or a monocyclic (hetero)aryl group; B = CH2, CH2CH2, CO, S, SO, SO2), were prepd. Thus, saccharin was heated with 1-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-4-(2-chloroethyl)piperazine and NaH in DMF to give title compd. (II). In general I were selective for 5-HT1a receptors, antagonize the effects of 8-OH-DPAT in rats, and have good oral bioavailability.

IT **161612-51-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2,3-dihydro-1,4-benzodioxin-5-yl-piperazine derivs. having 5-HT1a-antagonistic activity)

RN 161612-51-7 CAPLUS

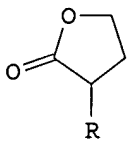
CN 1-Piperazinepropanoic acid, 4-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:533946 CAPLUS
DN 121:133946
TI Preparation of .alpha.-aryl-.gamma.-butyrolactones
IN Shepherd, Robin Gerald
PA Wyeth, John, and Brother Ltd., UK
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

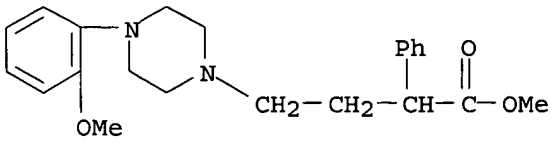
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9412487 | A1 | 19940609 | WO 1993-GB2427 | 19931125 |
| | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2150948 | AA | 19940609 | CA 1993-2150948 | 19931125 |
| | AU 9455324 | A1 | 19940622 | AU 1994-55324 | 19931125 |
| | EP 672039 | A1 | 19950920 | EP 1994-900256 | 19931125 |
| | EP 672039 | B1 | 19970709 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | JP 08503939 | T2 | 19960430 | JP 1994-512915 | 19931125 |
| | JP 3274866 | B2 | 20020415 | | |
| | AT 155134 | E | 19970715 | AT 1994-900256 | 19931125 |
| | ES 2105597 | T3 | 19971016 | ES 1994-900256 | 19931125 |
| | ZA 9308873 | A | 19950526 | ZA 1993-8873 | 19931126 |
| | US 5629432 | A | 19970513 | US 1995-436186 | 19950516 |
| PRAI | GB 1992-25257 | A | 19921203 | | |
| | WO 1993-GB2427 | W | 19931125 | | |

OS CASREACT 121:133946; MARPAT 121:133946
GI

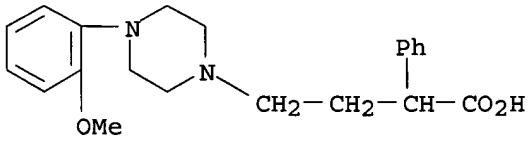


R I

AB Title compds. [I; R = (un)substituted Ph, or (bicyclic)heteroaryl] were prepd. by condensation of RCH(CO2R1)CO2R2 (R1,R2 = alkyl) with YCH2CH2OZ (Y = leaving group; Z = protecting group) to give RC(CO2R1)(CO2R2)CH2CH2OZ followed by hydrolysis. The lactones are of use as intermediates for prepg. 5-HT1A binding agents (sic). Thus, PhCH(CO2Et)2 was condensed with BrCH2CH2OAc to give PhC(CO2Et)2CH2CH2OAc which was refluxed 2h with NaOH in aq. MeOH to give 89% (this step) I (R = Ph). The latter was converted in 6 steps to (-)-2,3,4,5,6,7-hexahydro-1-[4-[4-(2-methoxyphenyl)piperazino]-2-phenylbutyryl]-1H-azepine hydrochloride.
IT **141733-63-3P 156818-13-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of drug)
RN 141733-63-3 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



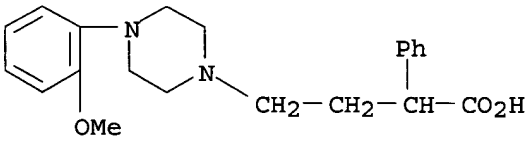
L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:491799 CAPLUS
DN 121:91799
TI Pharmaceutical piperazine derivatives
IN Cliffe, Ian Anthony; Ifill, Anderson Decourtney; White, Alan Chapman
PA Wyeth, John, and Brother Ltd., UK
SO Brit. UK Pat. Appl., 12 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | GB 2271930 | A1 | 19940504 | GB 1993-21690 | 19931021 |
| | GB 2271930 | B2 | 19960724 | | |
| PRAI | GB 1992-23014 | | 19921103 | | |

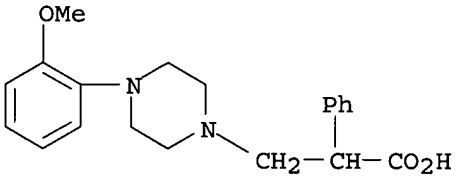
AB 4-[4-(2-Methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid and the pharmaceutically acceptable salts thereof are useful as 5-HT1A-antagonists. The compds. act primarily at peripheral 5-HT1A sites and can be used in treating gastrointestinal disorders in humans and other mammals.

IT **156818-13-2P**
RL: PREP (Preparation)
(prepn. of, as 5-HT1A antagonist)

RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



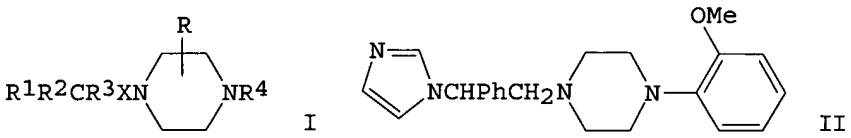
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1993:485794 CAPLUS
DN 119:85794
TI (S)-N-tert-Butyl-3-(4-(2-methoxyphenyl)piperazin-1-yl)-2-phenylpropanamide [(S)-WAY-100135]: a selective antagonist at presynaptic and postsynaptic 5-HT1A receptors
AU Cliffe, Ian A.; Brightwell, Christopher I.; Fletcher, Allan; Forster, Elaine A.; Mansell, Howard L.; Reilly, Yvonne; Routledge, Carol; White, Alan C.
CS Dep. Med. Chem., Wyeth Res. (UK), Taplow/Berkshire, SL6 0PH, UK
SO Journal of Medicinal Chemistry (1993), 36(10), 1509-10
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB The synthesis and pharmacol. properties of S-(+)-WAY-100135 are reported. The compd. was a highly selective and potent antagonist at presynaptic and postsynaptic 5-HT1A receptors. The binding affinity at 5-HT1A sites was 15.5 nM and the affinity at other 5-HT, noradrenergic, and dopaminergic D2 sites was >1000 nM. In rats, (S)-WAY-100135 did not produce 5-HT1A agonist-like behaviors (up to 10 mg/kg i.v.) but blocked the effects of 8-OH-DPAT. Microdialysis expts. showed that (S)-WAY-100135 at 10 mg/kg s.c. was without a significant effect on extracellular levels of 5-HT in the rat brain hippocampus and completely blocked the effects of 8-OH-DPAT.
IT **129394-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with butylamine)
RN 129394-10-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1992:490321 CAPLUS
DN 117:90321
TI Piperazine derivatives
IN Ward, Terence James; Warrellow, Graham John
PA John Wyeth and Brother Ltd., UK
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 479546 | A2 | 19920408 | EP 1991-308969 | 19911001 |
| | EP 479546 | A3 | 19920603 | | |
| | EP 479546 | B1 | 19961030 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE | | | | |
| | AU 9184883 | A1 | 19920409 | AU 1991-84883 | 19910930 |
| | AU 642532 | B2 | 19931021 | | |
| | US 5177078 | A | 19930105 | US 1991-768147 | 19910930 |
| | GB 2248616 | A1 | 19920415 | GB 1991-20856 | 19911001 |
| | GB 2248616 | B2 | 19940615 | | |
| | JP 04257570 | A2 | 19920911 | JP 1991-253585 | 19911001 |
| | AT 144772 | E | 19961115 | AT 1991-308969 | 19911001 |
| | ES 2094204 | T3 | 19970116 | ES 1991-308969 | 19911001 |
| | CA 2052619 | AA | 19920404 | CA 1991-2052619 | 19911002 |
| | HU 59394 | A2 | 19920528 | HU 1991-3160 | 19911003 |
| | HU 217813 | B | 20000428 | | |
| | IL 101166 | A1 | 20000813 | IL 1992-101166 | 19920306 |
| PRAI | GB 1990-21453 | A | 19901003 | | |

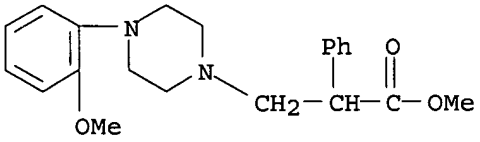
OS MARPAT 117:90321
GI




AB Piperazines I (X = alkylene; R = H, alkyl; R1, R4 = aryl, heteroaryl; R2 = mono- or bicyclic heterocyclic; R3 = H, OH, alkyl) were prepd. Thus, 1-(2-methoxyphenyl)piperazine was treated with styrene oxide followed by imidazole to give the piperazine II. II had 5-hydroxytryptamine type 1A receptor antagonist activity in rats at a min. ED of 1 mg/kg s.c. and 10 mg/kg orally.

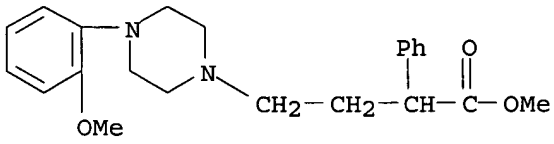
IT **132708-57-7 141733-63-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acetamidoxime)

RN 132708-57-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



S.M

RN 141733-63-3 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)

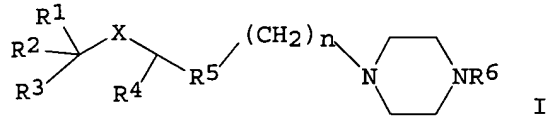


S.M

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:164279 CAPLUS
DN 114:164279
TI Preparation of 1-aryl-4-carboxyalkylpiperazines and related compounds as 5HT1A antagonists
IN Cliffe, Ian Anthony; Abou-Gharbia, Magid Abdel Megid; Yardley, John Patrick
PA American Home Products Corp., USA; Wyeth, John, and Brother Ltd.
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 395313 | A2 | 19901031 | EP 1990-304251 | 19900420 |
| | EP 395313 | A3 | 19910508 | | |
| | EP 395313 | B1 | 19991215 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE | | | | |
| | US 4988814 | A | 19910129 | US 1989-428148 | 19891027 |
| | CA 2015033 | AA | 19901022 | CA 1990-2015033 | 19900420 |
| | AU 9053778 | A1 | 19901025 | AU 1990-53778 | 19900420 |
| | AU 619677 | B2 | 19920130 | | |
| | GB 2230780 | A1 | 19901031 | GB 1990-8924 | 19900420 |
| | GB 2230780 | B2 | 19921021 | | |
| | HU 54667 | A2 | 19910328 | HU 1990-2503 | 19900420 |
| | DD 296921 | A5 | 19911219 | DD 1990-339954 | 19900420 |
| | ZA 9003019 | A | 19911224 | ZA 1990-3019 | 19900420 |
| | ZA 9003020 | A | 19911224 | ZA 1990-3020 | 19900420 |
| | DD 297968 | A5 | 19920130 | DD 1990-339955 | 19900420 |
| | FI 93832 | B | 19950228 | FI 1990-1982 | 19900420 |
| | FI 93832 | C | 19950612 | | |
| | EP 955296 | A2 | 19991110 | EP 1999-108070 | 19900420 |
| | EP 955296 | A3 | 20000119 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE | | | | |
| | AT 187718 | E | 20000115 | AT 1990-304251 | 19900420 |
| | ES 2140374 | T3 | 20000301 | ES 1990-304251 | 19900420 |
| | JP 03020263 | A2 | 19910129 | JP 1990-106300 | 19900421 |
| | JP 3054677 | B2 | 20000619 | | |
| | IL 94160 | A1 | 19940624 | IL 1990-94160 | 19900422 |
| | US 5364849 | A | 19941115 | US 1992-911996 | 19920710 |
| | GB 2255976 | A1 | 19921125 | GB 1992-15425 | 19920720 |
| | GB 2255976 | B2 | 19921125 | | |
| | US 5382583 | A | 19950117 | US 1992-998887 | 19921229 |
| | US 5340812 | A | 19940823 | US 1993-1428 | 19930107 |
| | US 5420278 | A | 19950530 | US 1994-248124 | 19940524 |
| | US 5541326 | A | 19960730 | US 1994-339000 | 19941114 |
| PRAI | GB 1989-9209 | A | 19890422 | | |
| | US 1989-428148 | A | 19891027 | | |
| | GB 1989-24323 | A | 19891028 | | |
| | US 1990-511150 | B2 | 19900419 | | |
| | EP 1990-304251 | A3 | 19900420 | | |
| | GB 1990-8925 | A3 | 19900420 | | |
| | US 1991-748496 | B1 | 19910822 | | |
| | US 1991-748497 | B1 | 19910822 | | |
| | US 1991-756932 | B1 | 19910909 | | |
| | US 1992-911996 | A3 | 19920710 | | |
| | US 1992-998887 | A3 | 19921229 | | |

OS CASREACT 114:164279; MARPAT 114:164279
GI



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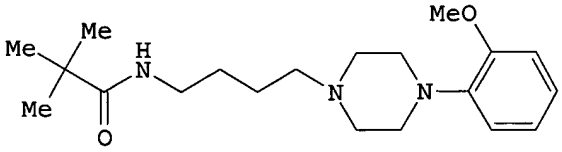


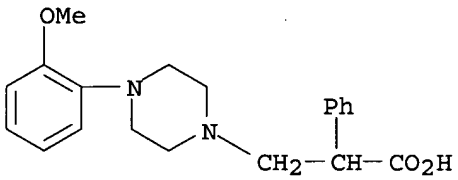
II

AB The title compds. [I; R1 = alkyl; R2, R3 = alkyl; R2R3 = cycloalkyl, 5-norbornen-2-yl; X = CO2, OCO2, NR7CO, NHNHCO, ONR7CO, CONR7, etc.; R4 = H, alkyl; R5 = R4, hydroxyalkyl, (substituted) Ph, PhCH2; R6 = (substituted) Ph, PhCH2, pyridinyl, pyrimidinyl, pyrazinyl; R7 = H, alkyl (substituted) Ph, PhCH2; n = 1-5], were prepd. Thus, 4-(2-methoxyphenyl)-1-piperazinylbutanamine, Et3N, and Me3CCOCl were stirred overnight in CH2Cl2 to give 38% title compd. II which at 0.1 .mu.M gave 100% displacement of 3H-dipropylaminotetralin from 5-HT1A receptors.

IT **129394-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation of, in prepn. of 5-HT1A antagonist)

RN 129394-10-1 CAPLUS

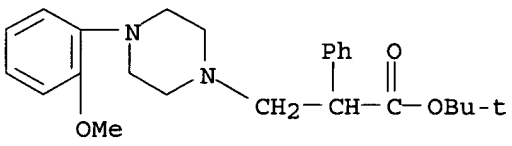
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



IT **133025-21-5P 133025-22-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-HT1A antagonist)

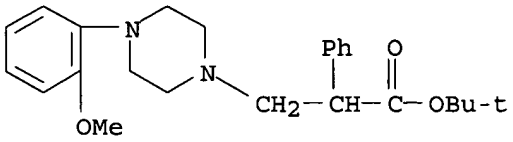
RN 133025-21-5 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 133025-22-6 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

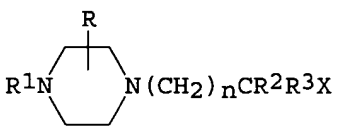



●2 HCl

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:143444 CAPLUS
DN 114:143444
TI Preparation of 1-aryl-4-carboxyalkylpiperazines and related compounds as serotoninergic antagonists
IN Cliffe, Ian Anthony
PA Wyeth, John, and Brother Ltd., UK
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 395312 | A2 | 19901031 | EP 1990-304250 | 19900420 |
| | EP 395312 | A3 | 19910508 | | |
| | EP 395312 | B1 | 19990512 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE | | | | |
| | CA 2015034 | AA | 19901022 | CA 1990-2015034 | 19900420 |
| | AU 9053779 | A1 | 19901025 | AU 1990-53779 | 19900420 |
| | AU 619678 | B2 | 19920130 | | |
| | GB 2230781 | A1 | 19901031 | GB 1990-8925 | 19900420 |
| | GB 2230781 | B2 | 19930428 | | |
| | HU 54666 | A2 | 19910328 | HU 1990-2504 | 19900420 |
| | DD 296921 | A5 | 19911219 | DD 1990-339954 | 19900420 |
| | ZA 9003019 | A | 19911224 | ZA 1990-3019 | 19900420 |
| | ZA 9003020 | A | 19911224 | ZA 1990-3020 | 19900420 |
| | DD 297968 | A5 | 19920130 | DD 1990-339955 | 19900420 |
| | IL 94151 | A1 | 19950831 | IL 1990-94151 | 19900420 |
| | AT 179973 | E | 19990515 | AT 1990-304250 | 19900420 |
| | ES 2130116 | T3 | 19990701 | ES 1990-304250 | 19900420 |
| | JP 03011059 | A2 | 19910118 | JP 1990-106299 | 19900421 |
| | JP 3036786 | B2 | 20000424 | | |
| | US 5364849 | A | 19941115 | US 1992-911996 | 19920710 |
| | GB 2255976 | A1 | 19921125 | GB 1992-15425 | 19920720 |
| | GB 2255976 | B2 | 19921125 | | |
| | US 5382583 | A | 19950117 | US 1992-998887 | 19921229 |
| | US 5340812 | A | 19940823 | US 1993-1428 | 19930107 |
| | US 5420278 | A | 19950530 | US 1994-248124 | 19940524 |
| | US 5541326 | A | 19960730 | US 1994-339000 | 19941114 |
| PRAI | GB 1989-9209 | A | 19890422 | | |
| | GB 1989-24323 | A | 19891028 | | |
| | US 1990-511150 | B2 | 19900419 | | |
| | GB 1990-8925 | A3 | 19900420 | | |
| | US 1991-748496 | B1 | 19910822 | | |
| | US 1991-748497 | B1 | 19910822 | | |
| | US 1991-756932 | B1 | 19910909 | | |
| | US 1992-911996 | A3 | 19920710 | | |
| | US 1992-998887 | A3 | 19921229 | | |

OS MARPAT 114:143444
GI



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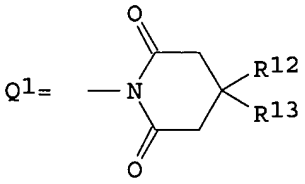
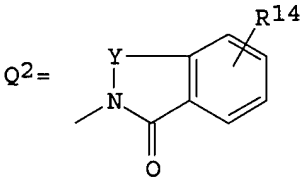
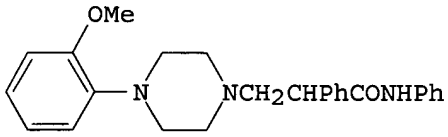






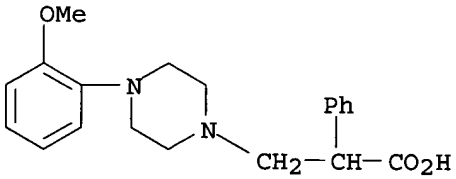
II

AB The title compds. [I; R = H, alkyl; R1 = aryl, N-contg. heteroaryl; R2 = H, alkyl; R3 = aryl, alkyl, arylalkyl; X = O2CR10, CO2R6, CONR5R9, OCO2R6, NR4COR6, Q1, Q2, etc.; R4 = H, alkyl; R6 = alkyl, cycloalkyl, arylalkyl; R9 = H, alkyl, cycloalkyl, aryl, arylalkyl, 8-azaspiro[4.5]deca-7,9-dione-8-yl-alkyl, etc.; R12, R13 = alkyl; R12R13C = cycloalkyl; R14 = H, halo, alkyl, alkoxy; Y = CO, SO2; n = 1, 2] were prepd. Thus, 1-(2-methoxyphenyl)piperazine was refluxed 18 h with atropic acid in EtOH to give .alpha.-[1-[4-(2-methoxyphenyl)piperazinyl]methyl]benzeneacetic acid. The latter in CH2Cl2 was treated with carbonyldiimidazole and then aniline to give title compd. II. I bound to rot hippocampal 5-HT1A receptors with IC50's of 8-127 nM.

IT **129394-10-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification or amidation of)

RN 129394-10-1 CAPLUS

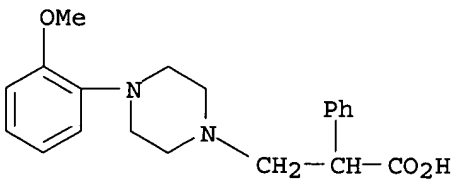
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



IT **129394-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for serotoninergic antagonist)

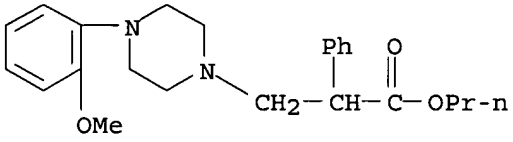
RN 129394-10-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)

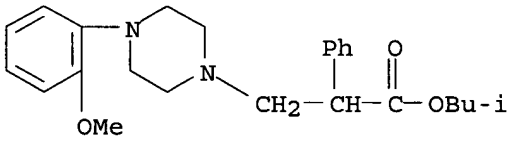


IT **132708-27-1P 132708-44-2P 132708-45-3P**
**132708-57-7P 132708-68-0P 132708-89-5P**
**132708-90-8P 132709-05-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotoninergic antagonist)

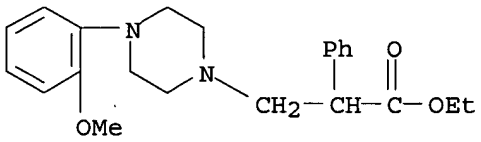
RN 132708-27-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, propyl ester (9CI) (CA INDEX NAME)



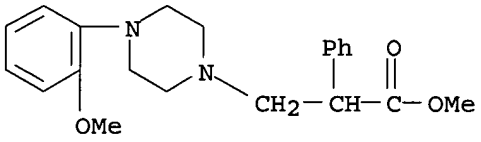
RN 132708-44-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



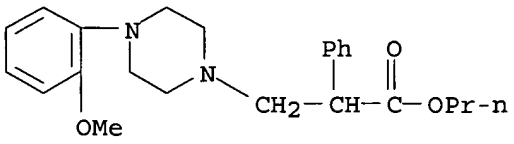
RN 132708-45-3 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 132708-57-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)

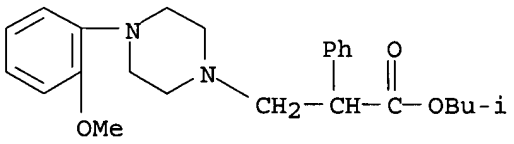


RN 132708-68-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, propyl ester, dihydrochloride (9CI) (CA INDEX NAME)



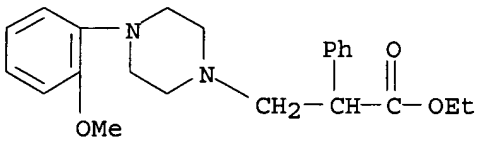
●2 HCl

RN 132708-89-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, 2-methylpropyl ester, dihydrochloride (9CI) (CA INDEX NAME)



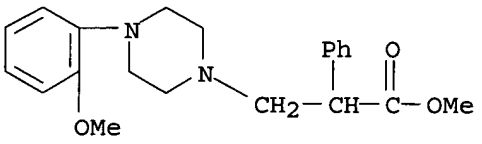
●2 HCl

RN 132708-90-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 132709-05-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

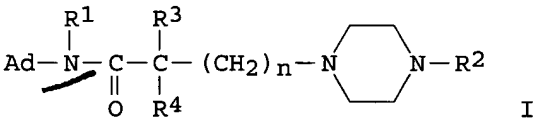


2 HCl

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1990:532219 CAPLUS
DN 113:132219
TI Preparation of piperazinylalkylcarboxylic acid adamantylamides as anxiolytics, antidepressants, and antipsychotics
IN Abou-Gharbia, Magid A.; Yardley, John P.; Childers, Wayne E., Jr.; Cliffe, Ian A.
PA American Home Products Corp., USA; Wyeth, John, and Brother Ltd.
SO U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 297,509, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 4921958 | A | 19900501 | US 1989-413407 | 19890927 |
| | GB 2227018 | A1 | 19900718 | GB 1990-349 | 19900108 |
| | GB 2227018 | B2 | 19920520 | | |
| | DD 296921 | A5 | 19911219 | DD 1990-339954 | 19900420 |
| | ZA 9003019 | A | 19911224 | ZA 1990-3019 | 19900420 |
| | ZA 9003020 | A | 19911224 | ZA 1990-3020 | 19900420 |
| | DD 297968 | A5 | 19920130 | DD 1990-339955 | 19900420 |
| | US 5364849 | A | 19941115 | US 1992-911996 | 19920710 |
| | GB 2255976 | A1 | 19921125 | GB 1992-15425 | 19920720 |
| | GB 2255976 | B2 | 19921125 | | |
| | US 5382583 | A | 19950117 | US 1992-998887 | 19921229 |
| | US 5340812 | A | 19940823 | US 1993-1428 | 19930107 |
| | US 5420278 | A | 19950530 | US 1994-248124 | 19940524 |
| | US 5541326 | A | 19960730 | US 1994-339000 | 19941114 |
| PRAI | US 1989-297509 | B2 | 19890113 | | |
| | GB 1989-9209 | A | 19890422 | | |
| | GB 1989-24323 | A | 19891028 | | |
| | US 1990-511150 | B2 | 19900419 | | |
| | GB 1990-8925 | A3 | 19900420 | | |
| | US 1991-748496 | B1 | 19910822 | | |
| | US 1991-748497 | B1 | 19910822 | | |
| | US 1991-756932 | B1 | 19910909 | | |
| | US 1992-911996 | A3 | 19920710 | | |
| | US 1992-998887 | A3 | 19921229 | | |

OS MARPAT 113:132219
GI



I

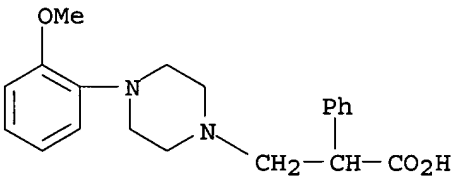
AB Title amides I [Ad = 1- or 2-adamantyl, 3-noradamantyl; n = 1-5; R1 = H, alkyl, (substituted) Ph, CH2Ph; R2 = pyridinyl, pyrimidinyl, pyrazinyl, (substituted) Ph, CH2Ph; R3, R4 = H, Me, Ph, CH2Ph] were prepd. Thus, alkylation of 1-(2-methoxyphenyl)piperazine by 3-bromo-N-(1-adamantyl)propanamide in CH2Cl2 contg. EtN(Me2CH)2, followed by workup, chromatog., and acidification gave I (Ad = 1-adamantyl, n = 1, R1 = R3 = R4 = H, R2 = 2-MeOC6H4) (II) as its di-HCl salt in 20% yield. II showed a 5-HT1A receptor affinity comparable to buspirone, and D2 dopaminergic

affinity sufficient for antipsychotic utility. Two addnl. I were prepd., showing 5-HT1A activity but without significant D2 activity.

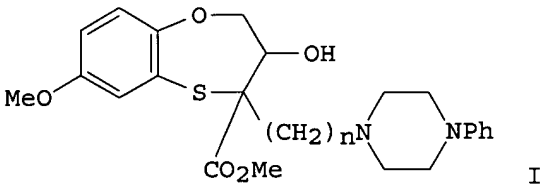
IT **129394-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of anxiolytics)

RN 129394-10-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-.alpha.-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1988:112411 CAPLUS
DN 108:112411
TI 1,5-Benzoxathiepin derivatives. II. Synthesis and serotonin S2-receptor-blocking activity of aminoalkyl-substituted 3,4-dihydro-2H-1,5-benzoxathiepin-3-ols and related compounds
AU Sugihara, Hirosada; Mabuchi, Hiroshi; Hirata, Minoru; Imamoto, Tetsuji; Kawamatsu, Yutaka
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1987), 35(5), 1930-52
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 108:112411
GI

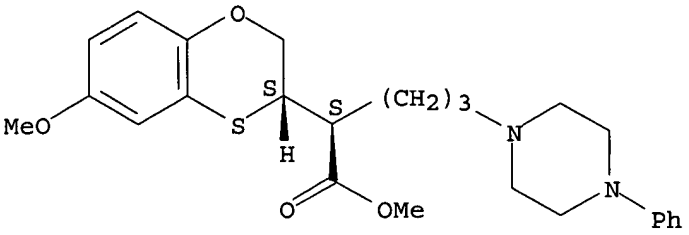



I

AB Novel 1,5-benzoxathiepin derivs., e.g., I (n = 3, 4, 5), with an aminoalkyl group at the 2-, 3-, 4-position, were synthesized and evaluated for serotonin S2-receptor-blocking activity and adrenergic .alpha.1-receptor-blocking activity. Me 4-aminoalkyl-3-hydroxy-3,4-dihydro-2H-1,5-benzoxathiepin-4-carboxylates showed significant S2-receptor-blocking activities. Structure-activity relationships, including the results of a conformational study and skeletal modifications, were examd. In the series of 1,5-benzoxathiepin, 1-benzoxepin and 1-benzothiepin derivs., Me cis-3-hydroxy-7-methoxy-4-[3-(4-phenyl-1-piperazinyl)propyl]-3,4-dihydro-2H-1,5-benzoxathiepin-4-carboxylate hydrochloride (CV-5197) showed the most potent and the most selective S2-receptor-blocking activity in the binding profile, and was chosen as a candidate for further pharmacol. evaluation.

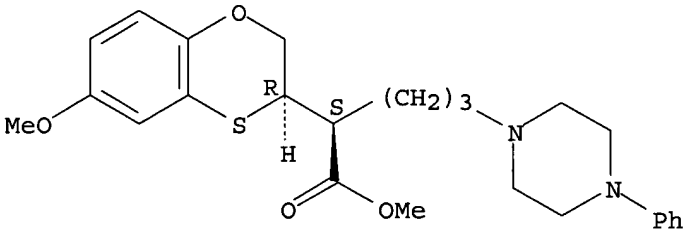
IT **113272-89-2P 113272-90-5P 113272-91-6P 113272-92-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 113272-89-2 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



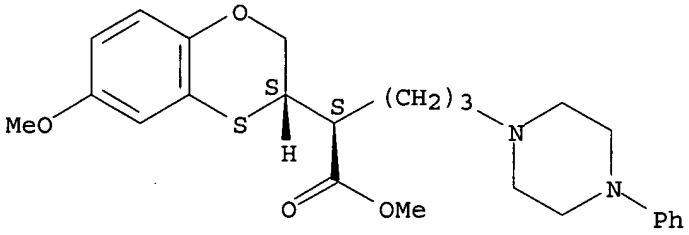
RN 113272-90-5 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 113272-91-6 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, monohydrochloride, (R*,R*)-(9CI) (CA INDEX NAME)

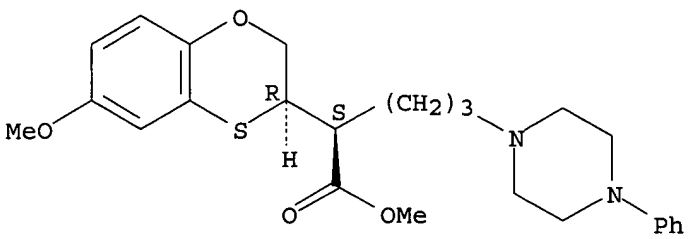
Relative stereochemistry.



● HCl

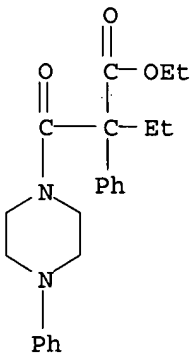
RN 113272-92-7 CAPLUS
CN 1-Piperazinepentanoic acid, .alpha.-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, monohydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.




● HCl

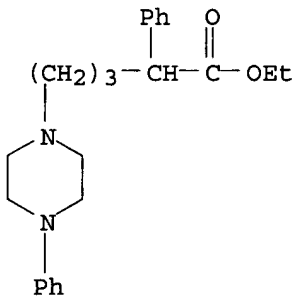
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:506663 CAPLUS
DN 69:106663
TI Analogs and derivatives of .alpha.-phenyl-.alpha.-ethylmalonic acid N-(2-diethylaminoethyl)amide
AU Buttini, A.; Melandri, M. M.; Galimberti, P.
CS Schelabor S.p.A., Milan, Italy
SO Bollettino Chimico Farmaceutico (1968), 107(6), 362-9
CODEN: BCFAAI; ISSN: 0006-6648
DT Journal
LA Italian
AB A number of compds. related to Fenalamide RCOCPhEtCO2Et (I) (R = NHCH2CH2NEt2) were synthesized and their pharmacol. activities tested. Thus, to a mixt. of 0.1 mole PhEtC(CO2Et)COCl and 0.1 mole Na2CO3 in 150 ml. C6H6, 0.1 mole of the appropriate amine added with cooling, and the whole refluxed 4 hrs., gave the following I (R, b.p./mm., and m.p. HCl salt given): 4-methylpiperazino, 152-3.degree./0.2, 171-2.degree.; 4-phenylpiperazino 205-6.degree./0.3, 181-3.degree.; 4-benzylpiperazino, 206-8.degree./0.3, 192-4.degree.; 4-(2-hydroxyethyl)piperazino, 198-9.degree./0.3,153-4.degree.; O(CH2)2O(CH2)2NEt2 (II), 183-4.degree./0.8, -; and O(CH2)2NEtPh, 204-6.degree./0.8, -. To a soln. of 0.1 mole MeONa in 200 ml. MeOH, 0.1 mole EtPhC(CO2Et)2 and 0.5 mole of the appropriate amine added and the mixt. refluxed 8 hrs. gave the following EtCR1(CONHR)2 (III) (R1 = Ph) (IV) (R and b.p./mm. or m.p. given): (CH2)2NEt2 (IVa), 180-5.degree./0.5; (CH2)2NMe2, 180-5.degree./0.7; (CH2)3NEt2, 170-3.degree./0.3; (CH2)3NMe2, 180-5.degree./1; (CH2)2OH, 126-7.degree.; (CH2)3OH, 94-5.degree.; and (CH2)11Me, 200-4.degree./0.4. Similarly prepd. from ZCH2CEt(CO2Et)2 (Z = piperidino) were the following III (R1 = piperidinomethyl) (R and b.p./mm. given): (CH2)2NEt2, 180-90.degree./0.8; (CH2)3NEt2, 185-95.degree./0.6; (CH2)2NMe2, 177-80.degree./0.3; (CH2)3NMe2, 200-10.degree./0.7; (CH2)2OH, 160-8.degree./0.8; (CH2)3OH, 200-10.degree./0.6; and (CH2)11Me, 200-5.degree./0.5. Finally, a soln. of 0.1 mole EtCH(CO2Et)2, 0.11 mole paraformaldehyde, 0.1 mole pyrrolidine, and 500 ml. EtOH refluxed 6 hrs. gave QCH2CEt(CO2Et)2 (Q = pyrrolidino), b1 115-20.degree., which allowed to react with an appropriate amine as reported for IV, gave the following III (R1 = pyrrolidinomethyl) (R and b.p./mm. given): (CH2)2NEt2, 204-10.degree./0.9; and (CH2)3NEt2, 200-10.degree./0.8. II exhibited a high anticholinergic activity in vitro; IVa exhibited at 50 mg./kg. i.p. or at 180 mg./kg. per os a remarkable antitussive activity in rats.
IT **20389-21-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20389-21-3 CAPLUS
CN 1-Piperazinepropionic acid, .alpha.-ethyl-.beta.-oxo-.alpha.,4-diphenyl-, ethyl ester (8CI) (CA INDEX NAME)



L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1965:91011 CAPLUS
DN 62:91011
OREF 62:16272b-d
TI 1-(4-Aryl-5-hydroxypentyl)4-arylpiperazines
PA UCB (Union Chimique-Chemische Bedrijven), Societe Anon.
SO 7 pp.
DT Patent
LA Unavailable
FAN.CNT 1

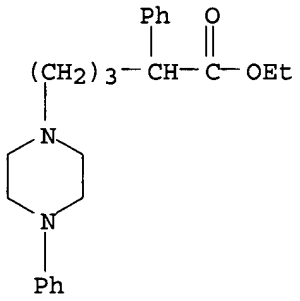
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI BE 642084 | | 19640703 | BE | |
| PRAI GB | | 19630114 | | |

GI For diagram(s), see printed CA Issue.
AB Compds. of the general formula I are prepd. and can be used in the treatment of neurotic disorders. Thus, a mixt. of 10 ml. H2O, 80 ml. H2SO4 (d. 1.83), and 39.2 g. 1-(4-phenyl-4-cyanobutyl)-4-phenylpiperazine-2HCl is heated 3 hrs. at 120.degree., 1 kg. EtOH is added dropwise as the H2O is distd., and the mixt. is cooled and made alk. with NaOH. The mixt. is extd. with 250 ml. C6H6, the ext. is concd., and the residue is treated with HCl(EtOH) to give 1-(4-phenyl-4-carbethoxybutyl)-4-phenylpiperazine-2HCl (II), m. 197-9.degree.. II in H2O is treated with 50 ml. 40% NaOH, the mixt. is extd. with C6H6, the ext. is evapd. to dryness, the residue is dissolved in 100 ml. ether, and a mixt. of the soln. and 1.5 g. LiAlH4 in 125 ml. ether is refluxed 6 hrs. to give 13.5 g. 1-(4-phenyl-5-hydroxypentyl)-4-piperazine, m. 85-6.degree. (ether). Also prepd. are the following I (R, X, and m.p. 2HCl salt given): Me, MeO, 186-7.degree. (Me2CO); H, MeO, 180.degree. (alc.-ether). Also prepd. are p-MeC6H4CH(CO2H)(CH2)3Cl (m. 75-6.degree.) and p-MeC6H4CH(CH2OH)(CH2)3Cl.
IT **2870-53-3**, 1-Piperazinevaleric acid, .alpha.,4-diphenyl-, ethyl ester, dihydrochloride
(prepn. of)
RN 2870-53-3 CAPLUS
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



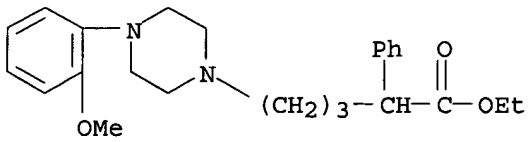
●2 HCl

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1963:448345 CAPLUS
DN 59:48345
OREF 59:8732a-c
TI New derivatives of N, N'-disubstituted piperazine having neurotropic properties
AU Morren, H.; Zivkovic, D.; Linz, R.; Strubbe, H.; Marchal, L.
CS Union Chim.-Chem. Bedrijven, Brussels
SO Industrie Chimique Belge (1963), 28, 123-34
CODEN: ICBEAJ; ISSN: 0019-9052
DT Journal
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB Hydrochlorides of I were prepd. by classical methods. R was H, lower alkyl, OMe, halogen in o, m, or p; R1 was H, Me, OMe, Cl, CF3 in o, m, or p; R2 was H, CN, CONH2, CONMe2, CO2Et, COMe, COEt, COPr, CH2NH2, CH2OH; and Z was (CH2)2-4, CH2CHMeCH2, CHMeCH2. The max. neurotropic activity was found for I [R2 = CN, Z = (CH2)3] where R = halogen, Me, or MeO in para position and R1 = halogen, Me, or MeO in ortho position.
IT **2870-53-3**, 1-Piperazinevaleric acid, .alpha.,4-diphenyl-, ethyl ester, dihydrochloride **96457-75-9**, 1-Piperazinevaleric acid, 4-(o-methoxyphenyl)-.alpha.-phenyl-, ethyl ester, dihydrochloride
(prepn. of)
RN 2870-53-3 CAPLUS
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

RN 96457-75-9 CAPLUS
CN 1-Piperazinevaleric acid, 4-(o-methoxyphenyl)-.alpha.-phenyl-, ethyl ester, dihydrochloride (7CI) (CA INDEX NAME)



2 HCl

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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

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  substance identification.  Title keywords, authors, patent
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  now searchable from 1907-1966.  TIFF images of CA abstracts
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  display formats.

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  all substance data from the REGISTRY file.  Enter HELP FIRST for
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L5            2 L3

=> d l5 1-2 bib hitstr
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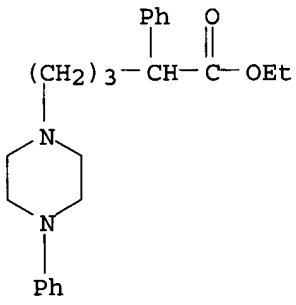
L5 ANSWER 1 OF 2 CAOLD COPYRIGHT 2003 ACS on STN
AN CA62:16272b CAOLD
TI 1-(4-aryl-5-hydroxypentyl)-4-arylpiperazines
PA UCB (Union Chimique-Chemische Bedrijven), S.A.
DT Patent

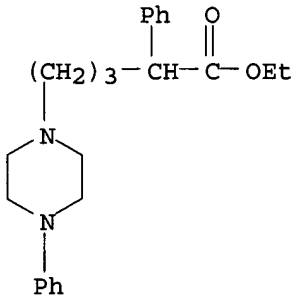
| PATENT NO. | KIND | DATE |
|---|---|---|
| BE 642084 | | |

IT **2870-53-3**
RN 2870-53-3 CAOLD
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



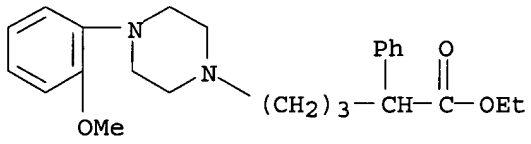
●2 HCl

L5 ANSWER 2 OF 2 CAOLD COPYRIGHT 2003 ACS on STN
AN CA59:8732a CAOLD
TI derivs. of N,N'-disubstituted piperazine having neurotropic properties
AU Morren, Henri; Zivkovic, D.; Linz, R.; Strubbe, H.; Marchal, L.
IT **2870-53-3 96457-75-9**
RN 2870-53-3 CAOLD
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

RN 96457-75-9 CAOLD
CN 1-Piperazinevaleric acid, 4-(o-methoxyphenyl)-.alpha.-phenyl-, ethyl ester, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

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                                                      ENTRY     SESSION
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CA SUBSCRIBER PRICE                                    0.00      -13.67

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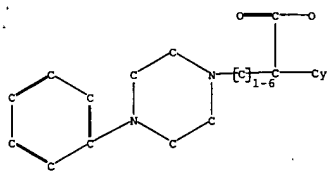

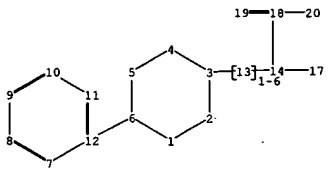

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ring nodes :
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ring bonds :
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exact/norm bonds :
1-2 1-6 2-3 3-4 3-13 4-5 5-6 6-12 14-17 18-19 18-20
exact bonds :
13-14 14-18
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 17:Atom 18:CLASS 19:CLASS 20:CLASS